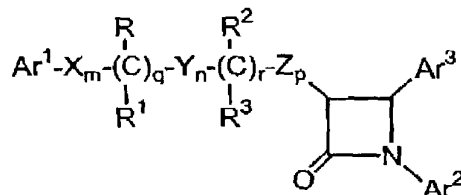


CLAIM AMENDMENTS

1. (Currently Amended) A composition comprising:
- (a) at least one bile acid sequestrant; and
- (b) about 10 milligrams of at least one sterol absorption inhibitor represented by Formula (I):



(I)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (I) or of the isomers thereof, or prodrugs of the compounds of Formula (I) or of the isomers, salts or solvates thereof, wherein in Formula (I) above:

Ar^1 and Ar^2 are independently selected from the group consisting of aryl and R^4 -substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X, Y and Z are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{lower alkyl})-$ and $-\text{C}(\text{dilower alkyl})-$;

R and R^2 are independently selected from the group consisting of $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$ and $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$;

R^1 and R^3 are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

3

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-(\text{lower alkylene})COOR^6$, $-CH=CH-COOR^6$, $-CF_3$, $-CN$, $-NO_2$ and halogen;

R^5 is 1-5 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-(\text{lower alkylene})COOR^6$ and $-CH=CH-COOR^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl.

2. (Original) The composition according to claim 1, wherein the at least one bile acid sequestrant is selected from the group consisting of cholestyramine, colestipol, colesevelam hydrochloride and mixtures thereof.

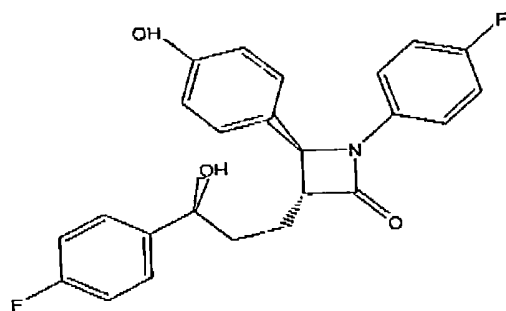
3. (Original) The composition according to claim 2, wherein the at least one bile acid sequestrant comprises cholestyramine.

4. (Withdrawn) The composition according to claim 2, wherein the at least one bile acid sequestrant comprises colestipol.

4

5. (Original) The composition according to claim 1, wherein the at least one bile acid sequestrant is administered to a mammal in an amount ranging from about 1 to about 50 grams of bile acid sequestrant per day.

6. (Original) The composition according to claim 1, wherein the sterol absorption inhibitor is represented by Formula (II) below:



(II)

or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the compound of Formula (II) or of the salt or solvate thereof.

7. (Cancel).

8. (Original) The composition according to claim 1, further comprising at least one cholesterol biosynthesis inhibitor.

9. (Original) The composition according to claim 8, wherein the at least one cholesterol biosynthesis inhibitor comprises at least one HMG CoA reductase inhibitor.

10. (Original) The composition according to claim 9, wherein the at least one HMG CoA reductase inhibitor is selected from the group consisting of lovastatin, pravastatin, fluvastatin, simvastatin, atorvastatin, cerivastatin and mixtures thereof.

11. (Original) The composition according to claim 10, wherein the at least one HMG CoA reductase inhibitor is simvastatin.

12. (Original) The composition according to claim 1, further comprising at least one PPAR receptor activator.

13. (Original) The composition according to claim 12, wherein the PPAR receptor activator is at least one fibric acid derivative is selected from the group consisting of fenofibrate, clofibrate, gemfibrozil, ciprofibrate, bezafibrate, clonofibrate, binifibrate, lifibrol and mixtures thereof.

14. (Original) The composition according to claim 13, wherein the at least one fibric acid derivative is fenofibrate.

15. (Original) The composition according to claim 1, further comprising nicotinic acid or a derivative thereof.

16. (Original) The composition according to claim 1, further comprising at least one AcylCoA:Cholesterol O-acyltransferase Inhibitor.

17. (Original) The composition according to claim 1, further comprising probucol or derivatives thereof.

18. (Original) The composition according to claim 1, further comprising at least one low-density lipoprotein receptor activator.

19. (Original) The composition according to claim 1, further comprising at least one Omega 3 fatty acid.

20. (Original) The composition according to claim 1, further comprising at least one natural water soluble fiber.

21. (Original) The composition according to claim 1, further comprising at least one of plant sterols, plant stanols or fatty acid esters of plant stanols.

7

22. (Original) The composition according to claim 1, further comprising at least one antioxidant or vitamin.

23. (Original) The composition according to claim 1, further comprising at least one hormone replacement therapy composition.

24. (Original) The composition according to claim 1, further comprising at least one obesity control medication.

25. (Original) The composition according to claim 1, further comprising at least one blood modifier different from the compound of Formula (I).

26. (Original) The composition according to claim 1, further comprising at least one cardiovascular agent different from the compound of Formula I.

27. (Original) The composition according to claim 1, further comprising at least one antidiabetic medication.

28. (Original) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration

8

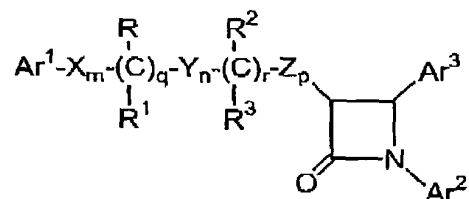
of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 1 and a pharmaceutically acceptable carrier.

29. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 1.

30. (Withdrawn) The method according to claim 29, wherein the vascular condition is hyperlipidemia.

31. (Currently Amended) A therapeutic combination comprising:

- (a) a first amount of at least one bile acid sequestrant; and
- (b) a second amount of about 10 milligrams of at least one sterol absorption inhibitor represented by Formula (I):



(I)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (I) or of the isomers thereof, or prodrugs of the compounds of Formula (I) or of the isomers, salts or solvates thereof, wherein in Formula (I) above:

- Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴-substituted aryl;
- Ar³ is aryl or R⁵-substituted aryl;

9

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R² are independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R¹ and R³ are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

R⁴ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶, -CH=CH-COOR⁶, -CF₃, -CN, -NO₂ and halogen;

R⁵ is 1-5 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl
wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular

10

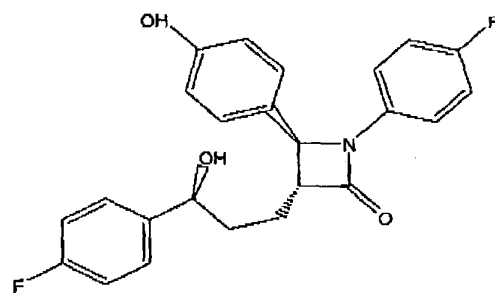
condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

32. (Original) A therapeutic combination according to claim 31, wherein the at least one bile acid sequestrant is administered concomitantly with the at least one sterol absorption inhibitor.

33. (Original) A therapeutic combination according to claim 31, wherein the at least one bile acid sequestrant and the at least one sterol absorption inhibitor are present in separate treatment compositions.

34. (Original) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 31.

35. (Currently Amended) A composition comprising: (a) at least one bile acid sequestrant; and (b) about 10 milligrams of a compound represented by Formula (II) below:

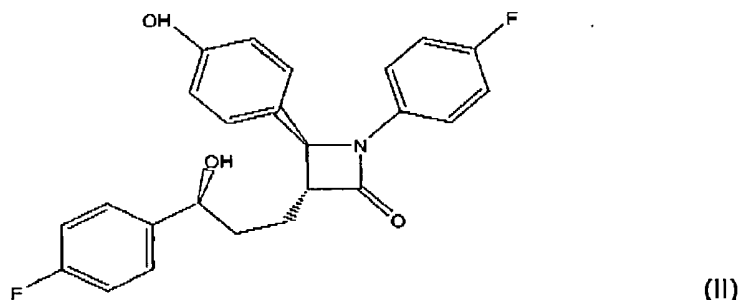


(II)

or pharmaceutically acceptable salt or solvate thereof, or prodrug of the compound of Formula (II) or of the salt or solvate thereof.

11

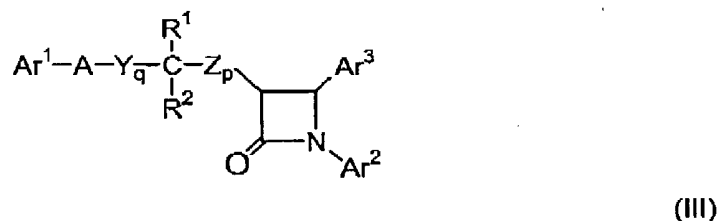
36. (Currently Amended) A therapeutic combination comprising: (a) a first amount of at least one bile acid sequestrant; and (b) a second amount of about 10 milligrams of a compound represented by Formula (II) below:



or pharmaceutically acceptable salt or solvate thereof, or prodrug of the compound of Formula (II) or of the salt or solvate thereof, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

37. (Withdrawn) A composition comprising:

- (a) at least one bile acid sequestrant; and
- (b) at least one sterol absorption inhibitor represented by Formula (III):



or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (III) or of the isomers thereof, or prodrugs of the compounds of Formula (III) or of the isomers, salts or solvates thereof, wherein, in Formula (III) above:

12

Ar^1 is R^3 -substituted aryl;

Ar^2 is R^4 -substituted aryl;

Ar^3 is R^5 -substituted aryl;

Y and Z are independently selected from the group consisting of $-CH_2-$, $-CH(\text{lower alkyl})-$ and $-C(\text{dilower alkyl})-$;

A is selected from $-O-$, $-S-$, $-S(O)-$ or $-S(O)_2-$;

R^1 is selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$ and $-O(CO)NR^6R^7$; R^2 is selected from the group consisting of hydrogen, lower alkyl and aryl; or R^1 and R^2 together are $=O$;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

R^5 is 1-3 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^9$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2\text{-lower alkyl}$, $-NR^6SO_2\text{-aryl}$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}\text{-alkyl}$, $S(O)_{0-2}\text{-aryl}$, $-O(CH_2)_{1-10}COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, $-(\text{lower alkylene})COOR^6$, and $-CH=CH-COOR^6$;

R^3 and R^4 are independently 1-3 substituents independently selected from the group consisting of R^5 , hydrogen, p-lower alkyl, aryl, $-NO_2$, $-CF_3$ and p-halogeno;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl.

38. (Withdrawn) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration

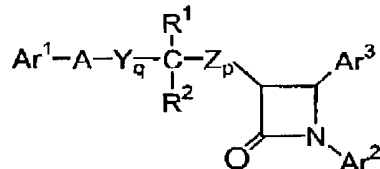
13

of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 37 and a pharmaceutically acceptable carrier.

39. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 37.

40. (Withdrawn) A therapeutic combination comprising:

- (a) a first amount of at least one bile acid sequestrant; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (III):



(III)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (III) or of the isomers thereof, or prodrugs of the compounds of Formula (III) or of the isomers, salts or solvates thereof, wherein, in Formula (III) above:

Ar¹ is R³-substituted aryl;

Ar² is R⁴-substituted aryl;

Ar³ is R⁵-substituted aryl;

Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

A is selected from -O-, -S-, -S(O)- or -S(O)₂-;

R^1 is selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$ and $-O(CO)NR^6R^7$; R^2 is selected from the group consisting of hydrogen, lower alkyl and aryl; or R^1 and R^2 together are $=O$;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

R^5 is 1-3 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^9$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2$ -lower alkyl, $-NR^6SO_2$ -aryl, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}$ -alkyl, $S(O)_{0-2}$ -aryl, $-O(CH_2)_{1-10}COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, $-(\text{lower alkylene})COOR^6$, and $-CH=CHCOOR^6$;

R^3 and R^4 are independently 1-3 substituents independently selected from the group consisting of R^5 , hydrogen, p-lower alkyl, aryl, $-NO_2$, $-CF_3$ and p-halogeno;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

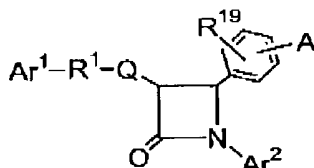
R^9 is lower alkyl, aryl or aryl-substituted lower alkyl, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

41. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 40.

42. (Withdrawn) A composition comprising:

15

- (a) at least one bile acid sequestrant; and
- (b) at least one sterol absorption inhibitor represented by Formula (IV):



(IV)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IV) or of the isomers thereof, or prodrugs of the compounds of Formula (IV) or of the isomers, salts or solvates thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of R²-substituted heterocycloalkyl, R²-substituted heteroaryl, R²-substituted benzofused heterocycloalkyl, and R²-substituted benzofused heteroaryl;

Ar¹ is aryl or R³-substituted aryl;

Ar² is aryl or R⁴-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms

the spiro group ; and

R¹ is selected from the group consisting of:

-(CH₂)ₑ-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

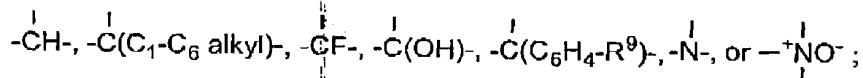
-(CH₂)ₑ-G-(CH₂)ᵣ-, wherein G is -O-, -C(O)-, phenylene, -NR⁸- or -S(O)₀-₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆ alkenylene)-; and

-(CH₂)ᶠ-V-(CH₂)ᵍ-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R⁵ is selected from:

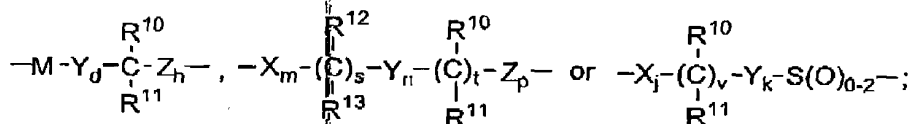
16



R^6 and R^7 are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{C}_1\text{-C}_6 \text{ alkyl})-$, $-\text{C}(\text{di}-(\text{C}_1\text{-C}_6) \text{ alkyl})-$, $-\text{CH}=\text{CH}-$ and $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$; or R^6 together with an adjacent R^6 , or R^5 together with an adjacent R^7 , form a $-\text{CH}=\text{CH}-$ or a $-\text{CH}=\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})-$ group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R^6 is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, a is 1; provided that when R^7 is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, b is 1; provided that when a is 2 or 3, the R^6 's can be the same or different; and provided that when b is 2 or 3, the R^7 's can be the same or different;

and when Q is a bond, R^1 also can be selected from:



where M is $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O})-$ or $-\text{S}(\text{O})_2-$;

X, Y and Z are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{C}_1\text{-C}_6 \text{ alkyl})-$ and $-\text{C}(\text{di}-(\text{C}_1\text{-C}_6) \text{ alkyl})-$;

R^{10} and R^{12} are independently selected from the group consisting of $-\text{OR}^{14}$, $-\text{O}(\text{CO})\text{R}^{14}$, $-\text{O}(\text{CO})\text{OR}^{16}$ and $-\text{O}(\text{CO})\text{NR}^{14}\text{R}^{15}$;

R^{11} and R^{13} are independently selected from the group consisting of hydrogen, $(\text{C}_1\text{-C}_6)\text{alkyl}$ and aryl; or R^{10} and R^{11} together are $=\text{O}$, or R^{12} and R^{13} together are $=\text{O}$;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that

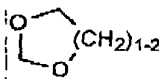
17

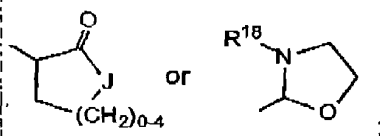
when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R^2 is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, (C_1-C_{10}) alkyl, (C_2-C_{10}) alkenyl, (C_2-C_{10}) alkynyl, (C_3-C_6) cycloalkyl, (C_3-C_6) cycloalkenyl, R^{17} -substituted aryl, R^{17} -substituted benzyl, R^{17} -substituted benzyloxy, R^{17} -substituted aryloxy, halogeno, $-NR^{14}R^{15}$, $NR^{14}R^{15}(C_1-C_6 \text{ alkylene})-$, $NR^{14}R^{15}C(O)(C_1-C_6 \text{ alkylene})-$, $-NHC(O)R^{16}$, OH, C_1-C_6 alkoxy, $-OC(O)R^{16}$, $-COR^{14}$, hydroxy (C_1-C_6) alkyl, (C_1-C_6) alkoxy (C_1-C_6) alkyl, NO_2 , $-S(O)_{0-2}R^{16}$, $-SO_2NR^{14}R^{15}$ and $-(C_1-C_6 \text{ alkylene})COOR^{14}$; when R^2 is a substituent on a heterocycloalkyl ring, R^2

is as defined, or is =O or ; and, where R^2 is a substituent on a substitutable ring nitrogen, it is hydrogen, (C_1-C_6) alkyl, aryl, (C_1-C_6) alkoxy, aryloxy, (C_1-C_6) alkylcarbonyl, arylcarbonyl, hydroxy, $-(CH_2)_{1-6}CONR^{18}R^{18}$,



wherein J is $-O-$, $-NH-$, $-NR^{18}-$ or $-CH_2-$;

R^3 and R^4 are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C_1-C_6) alkyl, $-OR^{14}$, $-O(CO)R^{14}$, $-O(CO)OR^{16}$, $-O(CH_2)_{1-5}OR^{14}$, $-O(CO)NR^{14}R^{15}$, $-NR^{14}R^{15}$, $-NR^{14}(CO)R^{15}$, $-NR^{14}(CO)OR^{16}$, $-NR^{14}(CO)NR^{15}R^{19}$, $-NR^{14}SO_2R^{16}$, $-COOR^{14}$, $-CONR^{14}R^{15}$, $-COR^{14}$, $-SO_2NR^{14}R^{15}$, $S(O)_{0-2}R^{16}$, $-O(CH_2)_{1-10}COOR^{14}$, $-O(CH_2)_{1-10}CONR^{14}R^{15}$, $-(C_1-C_6 \text{ alkylene})-COOR^{14}$, $-CH=CH-COOR^{14}$, $-CF_3$, $-CN$, $-NO_2$ and halogen;

18

R^8 is hydrogen, (C_1-C_6) alkyl, aryl (C_1-C_6) alkyl, $-C(O)R^{14}$ or $-COOR^{14}$;

R^9 and R^{17} are independently 1-3 groups independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, $-COOH$, NO_2 , $-NR^{14}R^{15}$, OH and halogeno;

R^{14} and R^{15} are independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl, aryl and aryl-substituted (C_1-C_6) alkyl;

R^{16} is (C_1-C_6) alkyl, aryl or R^{17} -substituted aryl;

R^{18} is hydrogen or (C_1-C_6) alkyl; and

R^{19} is hydrogen, hydroxy or (C_1-C_6) alkoxy.

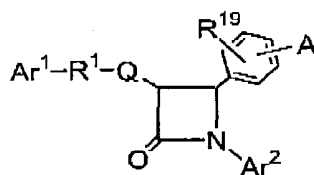
43. (Withdrawn) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 42 and a pharmaceutically acceptable carrier.

44. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 42.

45. (Withdrawn) A therapeutic combination comprising:

- (a) a first amount of at least one bile acid sequestrant; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (IV):

19



(IV)

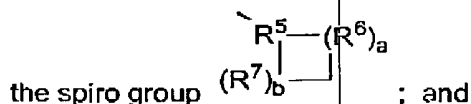
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IV) or of the isomers thereof, or prodrugs of the compounds of Formula (IV) or of the isomers, salts or solvates thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of R^2 -substituted heterocycloalkyl, R^2 -substituted heteroaryl, R^2 -substituted benzofused heterocycloalkyl, and R^2 -substituted benzofused heteroaryl;

Ar^1 is aryl or R^3 -substituted aryl;

Ar^2 is aryl or R^4 -substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms



R^1 is selected from the group consisting of:

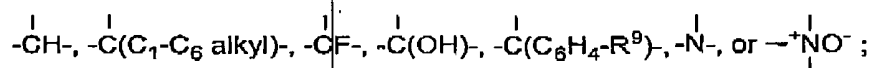
$-(CH_2)_q-$, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

$-(CH_2)_e-G-(CH_2)_r-$, wherein G is $-O-$, $-C(O)-$, phenylene, $-NR^8-$ or $-S(O)_{0-2}-$, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

$-(C_2-C_6 \text{ alkenylene})-$; and

$-(CH_2)_f-V-(CH_2)_g-$, wherein V is C_3-C_6 cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R^5 is selected from:

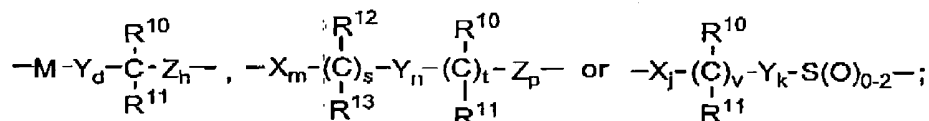


20

R^6 and R^7 are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{C}_1\text{-C}_6 \text{ alkyl})-$, $-\text{C}(\text{di}(\text{C}_1\text{-C}_6 \text{ alkyl}))$, $-\text{CH}=\text{CH}-$ and $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$; or R^5 together with an adjacent R^6 , or R^5 together with an adjacent R^7 , form a $-\text{CH}=\text{CH}-$ or a $-\text{CH}=\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})-$ group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R^6 is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, a is 1; provided that when R^7 is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, b is 1; provided that when a is 2 or 3, the R^6 's can be the same or different; and provided that when b is 2 or 3, the R^7 's can be the same or different;

and when Q is a bond, R^1 also can be selected from:



where M is $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O})-$ or $-\text{S}(\text{O})_2-$;

X, Y and Z are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{C}_1\text{-C}_6 \text{ alkyl})-$ and $-\text{C}(\text{di}(\text{C}_1\text{-C}_6 \text{ alkyl}))$;

R^{10} and R^{12} are independently selected from the group consisting of $-\text{OR}^{14}$, $-\text{O}(\text{CO})\text{R}^{14}$, $-\text{O}(\text{CO})\text{OR}^{16}$ and $-\text{O}(\text{CO})\text{NR}^{14}\text{R}^{15}$;

R^{11} and R^{13} are independently selected from the group consisting of hydrogen, $(\text{C}_1\text{-C}_6)\text{alkyl}$ and aryl; or R^{10} and R^{11} together are $=\text{O}$, or R^{12} and R^{13} together are $=\text{O}$;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

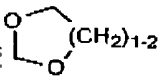
s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

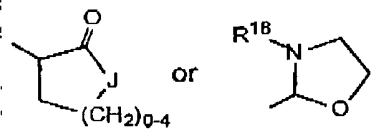
v is 0 or 1;

21

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R^2 is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, (C_1-C_{10}) alkyl, (C_2-C_{10}) alkenyl, (C_2-C_{10}) alkynyl, (C_3-C_6) cycloalkyl, (C_3-C_6) cycloalkenyl, R^{17} -substituted aryl, R^{17} -substituted benzyl, R^{17} -substituted benzyloxy, R^{17} -substituted aryloxy, halogeno, $-NR^{14}R^{15}$, $NR^{14}R^{15}(C_1-C_6 \text{ alkylene})$, $NR^{14}R^{15}C(O)(C_1-C_6 \text{ alkylene})$, $-NHC(O)R^{16}$, OH , C_1-C_6 alkoxy, $-OC(O)R^{16}$, $-COR^{14}$, hydroxy (C_1-C_6) alkyl, (C_1-C_6) alkoxy (C_1-C_6) alkyl, NO_2 , $-S(O)_{0-2}R^{16}$, $-SO_2NR^{14}R^{15}$ and $-(C_1-C_6 \text{ alkylene})COOR^{14}$; when R^2 is a substituent on a heterocycloalkyl ring, R^2

is as defined, or is $=O$ or ; and, where R^2 is a substituent on a substitutable ring nitrogen, it is hydrogen, (C_1-C_6) alkyl, aryl, (C_1-C_6) alkoxy, aryloxy, (C_1-C_6) alkylcarbonyl, arylcarbonyl, hydroxy, $-(CH_2)_{1-6}CONR^{18}R^{18}$,



wherein J is $-O-$, $-NH-$, $-NR^{18}$ or $-CH_2-$;

R^3 and R^4 are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C_1-C_6) alkyl, $-OR^{14}$, $-O(CO)R^{14}$, $-O(CO)OR^{16}$, $-O(CH_2)_{1-5}OR^{14}$, $-O(CO)NR^{14}R^{15}$, $-NR^{14}R^{15}$, $-NR^{14}(CO)R^{15}$, $-NR^{14}(CO)OR^{16}$, $-NR^{14}(CO)NR^{15}R^{19}$, $-NR^{14}SO_2R^{16}$, $-COOR^{14}$, $-CONR^{14}R^{15}$, $-COR^{14}$, $-SO_2NR^{14}R^{15}$, $S(O)_{0-2}R^{16}$, $-O(CH_2)_{1-10}COOR^{14}$, $-O(CH_2)_{1-10}CONR^{14}R^{15}$, $-(C_1-C_6 \text{ alkylene})COOR^{14}$, $-CH=CHCOOR^{14}$, $-CF_3$, $-CN$, $-NO_2$ and halogen;

R^8 is hydrogen, (C_1-C_6) alkyl, aryl (C_1-C_6) alkyl, $-C(O)R^{14}$ or $-COOR^{14}$;

22

R^9 and R^{17} are independently 1-3 groups independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, $-COOH$, NO_2 , $-NR^{14}R^{15}$, OH and halogeno;

R^{14} and R^{15} are independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl, aryl and aryl-substituted (C_1-C_6) alkyl;

R^{16} is (C_1-C_6) alkyl, aryl or R^{17} -substituted aryl;

R^{18} is hydrogen or (C_1-C_6) alkyl; and

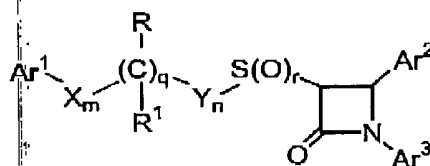
R^{19} is hydrogen, hydroxy or (C_1-C_6) alkoxy,

wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

46. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 45.

47. (Withdrawn) A composition comprising:

- (a) at least one bile acid sequestrant; and
- (b) at least one sterol absorption inhibitor represented by Formula (V):



(V)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (V) or of the isomers thereof, or prodrugs of the

compounds of Formula (V) or of the isomers, salts or solvates thereof, wherein, in Formula (V) above:

Ar^1 is aryl, R^{10} -substituted aryl or heteroaryl;

Ar^2 is aryl or R^4 -substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X and Y are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{lower alkyl})-$ and $-\text{C}(\text{dilower alkyl})-$;

R is $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$ or $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$; R^1 is hydrogen, lower alkyl or aryl; or R and R^1 together are $=\text{O}$;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-(\text{lower alkylene})\text{COOR}^6$ and $-\text{CH}=\text{CH}-\text{COOR}^6$;

R^5 is 1-5 substituents independently selected from the group consisting of $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$, halogen, $-(\text{lower alkylene})\text{COOR}^6$ and $-\text{CH}=\text{CH}-\text{COOR}^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl; and

24

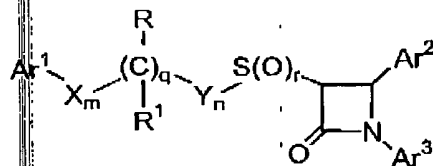
R^{10} is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $-S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-CF_3$, $-CN$, $-NO_2$ and halogen.

48. (Withdrawn) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 47 and a pharmaceutically acceptable carrier.

49. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 47.

50. (Withdrawn) A therapeutic combination comprising:

- (a) a first amount of at least one bile acid sequestrant; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (V):



(V)

25

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (V) or of the isomers thereof, or prodrugs of the compounds of Formula (V) or of the isomers, salts or solvates thereof, wherein, in Formula (V) above:

Ar^1 is aryl, R^{10} -substituted aryl or heteroaryl;

Ar^2 is aryl or R^4 -substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X and Y are independently selected from the group consisting of $-CH_2-$, $-CH(\text{lower alkyl})-$ and $-C(\text{dilower alkyl})-$;

R is $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$ or $-O(CO)NR^6R^7$; R^1 is hydrogen, lower alkyl or aryl; or R and R^1 together are $=O$;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-(\text{lower alkylene})COOR^6$ and $-CH=CH-COOR^6$;

R^5 is 1-5 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-CF_3$, $-CN$, $-NO_2$, halogen, $-(\text{lower alkylene})COOR^6$ and $-CH=CH-COOR^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl; and

26

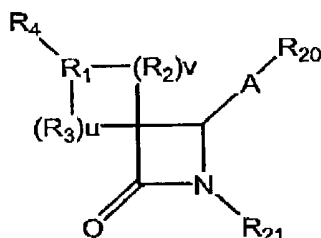
R^{10} is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $-S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-CF_3$, $-CN$, $-NO_2$ and halogen,

wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

51. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 50.

52. (Withdrawn) A composition comprising:

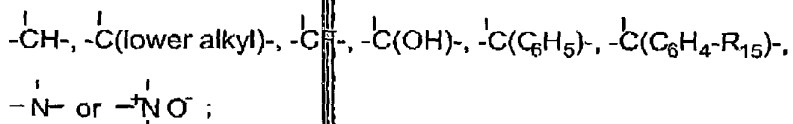
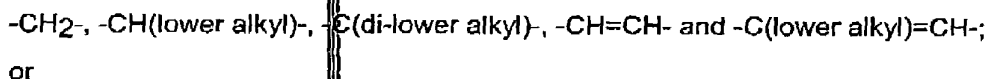
- (a) at least one bile acid sequestrant; and
- (b) at least one sterol absorption inhibitor represented by Formula (VI):



(VI)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VI) or of the isomers thereof, or prodrugs of the compounds of Formula (VI) or of the isomers, salts or solvates thereof, wherein:

27

R₁ isR₂ and R₃ are independently selected from the group consisting of:

R₁ together with an adjacent R₂, or R₁ together with an adjacent R₃, form a
 $-\text{CH}=\text{CH}-$ or a $-\text{CH}=\text{C}(\text{lower alkyl})-$ group;

u and v are independently 0, 1, 2 or 3, provided both are not zero;
 provided that when R₂ is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{lower alkyl})=\text{CH}-$, v is 1; provided that
 when R₃ is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{lower alkyl})=\text{CH}-$, u is 1; provided that when v is 2 or
 3, the R₂'s can be the same or different; and provided that when u is 2 or 3, the
 R₃'s can be the same or different;

R₄ is selected from $\text{B}-(\text{CH}_2)_m\text{C}(\text{O})-$, wherein m is 0, 1, 2, 3, 4 or 5;
 $\text{B}-(\text{CH}_2)_q-$, wherein q is 0, 1, 2, 3, 4, 5 or 6;
 $\text{B}-(\text{CH}_2)_e\text{-Z}-(\text{CH}_2)_r-$, wherein Z is $-\text{O}-$, $-\text{C}(\text{O})-$, phenylene, $-\text{N}(\text{R}_8)-$ or $-\text{S}(\text{O})_{0-2}-$, e
 is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0,
 1, 2, 3, 4, 5 or 6;
 $\text{B}-(\text{C}_2\text{-C}_6 \text{ alkenylene})-$;
 $\text{B}-(\text{C}_4\text{-C}_6 \text{ alkadienylene})-$;
 $\text{B}-(\text{CH}_2)_t\text{-Z}-(\text{C}_2\text{-C}_6 \text{ alkenylene})-$, wherein Z is as defined above, and wherein t is
 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the
 alkenylene chain is 2, 3, 4, 5 or 6;
 $\text{B}-(\text{CH}_2)_f\text{-V}-(\text{CH}_2)_g-$, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g
 is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;
 $\text{B}-(\text{CH}_2)_t\text{-V}-(\text{C}_2\text{-C}_6 \text{ alkenylene})-$ or

28

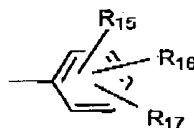
B-(C₂-C₆ alkenylene)-V-(CH₂)_t-, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)_a-Z-(CH₂)_b-V-(CH₂)_d-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or

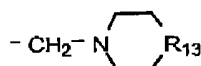
T-(CH₂)_s-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R₁ and R₄ together form the group $B-CH=C-^{\dagger}$;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxyalkoxyalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl, R₇-benzyl, benzyloxy, R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO₂, -N(R₈)(R₉), N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, OH, halogeno, -CN, -N₃, -NHC(O)OR₁₀, -NHC(O)R₁₀, R₁₁O₂SNH-, (R₁₁O₂S)₂N-, -S(O)₂NH₂, -S(O)₀₋₂R₈, tert-butyl dimethyl-silyloxymethyl, -C(O)R₁₂, -COOR₁₉, -CON(R₈)(R₉), -CH=CHC(O)R₁₂, -lower alkylene-C(O)R₁₂, R₁₀C(O)(lower alkyleneoxy)-, N(R₈)(R₉)C(O)(lower alkyleneoxy)- and



for substitution on ring carbon atoms,

29

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR₁₀, -C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, -S(O)₂NH₂ and 2-(trimethylsilyl)-ethoxymethyl;

R₇ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO₂, -N(R₈)(R₉), OH, and halogeno;

R₈ and R₉ are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;

R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;

R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,

-N(R₈)(R₉), lower alkyl, phenyl or R₇-phenyl;

R₁₃ is selected from -O-, -CH₂-, -NH-, -N(lower alkyl)- or -NC(O)R₁₉;

R₁₅, R₁₆ and R₁₇ are independently selected from the group consisting of H and the groups defined for W; or R₁₅ is hydrogen and R₁₆ and R₁₇, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R₁₉ is H, lower alkyl, phenyl or phenyl lower alkyl; and

R₂₀ and R₂₁ are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above.

53. (Withdrawn) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration

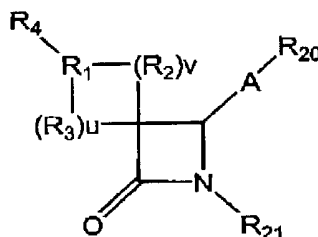
30

of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 52 and a pharmaceutically acceptable carrier.

54. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 52.

55. (Withdrawn) A therapeutic combination comprising:

- (a) a first amount of at least one bile acid sequestrant; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (VI):



(VI)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VI) or of the isomers thereof, or prodrugs of the compounds of Formula (VI) or of the isomers, salts or solvates thereof, wherein:

R₁ is

$\text{--}\overset{|}{\text{CH}}\text{--}$, $\text{--}\overset{|}{\text{C}}(\text{lower alkyl})\text{--}$, $\text{--}\overset{|}{\text{CF}}\text{--}$, $\text{--}\overset{|}{\text{C}}(\text{OH})\text{--}$, $\text{--}\overset{|}{\text{C}}(\text{C}_6\text{H}_5)\text{--}$, $\text{--}\overset{|}{\text{C}}(\text{C}_6\text{H}_4\text{--R}_{15})\text{--}$.

$\text{--}\overset{|}{\text{N}}\text{--}$ or $\text{--}\overset{|}{\text{N}}\text{O}^-\text{--}$;

R₂ and R₃ are independently selected from the group consisting of:

31

-CH₂-, -CH(lower alkyl)-, -C(di-lower alkyl)-, -CH=CH- and -C(lower alkyl)=CH-;

or

R₁ together with an adjacent R₂, or R₁ together with an adjacent R₃, form a

-CH=CH- or a -CH=C(lower alkyl)- group;

u and v are independently 0, 1, 2 or 3, provided both are not zero;

provided that when R₂ is -CH=CH- or -C(lower alkyl)=CH-, v is 1; provided that

when R₃ is -CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or

3, the R₂'s can be the same or different; and provided that when u is 2 or 3, the

R₃'s can be the same or different;

R₄ is selected from B-(CH₂)_mC(O)-, wherein m is 0, 1, 2, 3, 4 or 5;

B-(CH₂)_q-, wherein q is 0, 1, 2, 3, 4, 5 or 6;

B-(CH₂)_e-Z-(CH₂)_r-, wherein Z is -O-, -C(O)-, phenylene, -N(R₈)- or -S(O)₀₋₂-, e

is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0,

1, 2, 3, 4, 5 or 6;

B-(C₂-C₆ alkenylene)-;

B-(C₄-C₆ alkadienylene)-;

B-(CH₂)_t-Z-(C₂-C₆ alkenylene)-, wherein Z is as defined above, and wherein t is

0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g

is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;

B-(CH₂)_t-V-(C₂-C₆ alkenylene)- or

B-(C₂-C₆ alkenylene)-V-(CH₂)_t-, wherein V and t are as defined above, provided

that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3,

4, 5 or 6;

B-(CH₂)_a-Z-(CH₂)_b-V-(CH₂)_d-, wherein Z and V are as defined above and a, b

and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d

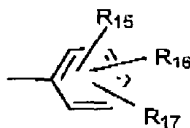
is 0, 1, 2, 3, 4, 5 or 6; or

32

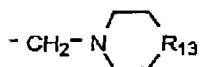
$T-(CH_2)_s-$, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R₁ and R₄ together form the group $B-\overset{I}{CH=C}-$;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, $-CF_3$, $-OCF_3$, benzyl, R₇-benzyl, benzyloxy, R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO_2 , $-N(R_8)(R_9)$, $N(R_8)(R_9)$ -lower alkylene-, $N(R_8)(R_9)$ -lower alkyleneoxy-, OH, halogeno, $-CN$, $-N_3$, $-NHC(O)OR_{10}$, $-NHC(O)R_{10}$, $R_{11}O_2SNH-$, $(R_{11}O_2S)_2N-$, $-S(O)_2NH_2$, $-S(O)_0-2R_8$, tert-butyldimethyl-silyloxymethyl, $-C(O)R_{12}$, $-COOR_{19}$, $-CON(R_8)(R_9)$, $-CH=CHC(O)R_{12}$, $-lower\ alkylene-C(O)R_{12}$, $R_{10}C(O)(lower\ alkyleneoxy)-$, $N(R_8)(R_9)C(O)(lower\ alkyleneoxy)-$ and



for substitution on ring carbon atoms,

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, $-C(O)OR_{10}$, $-C(O)R_{10}$, OH, $N(R_8)(R_9)$ -lower alkylene-, $N(R_8)(R_9)$ -lower alkyleneoxy-, $-S(O)_2NH_2$ and 2-(trimethylsilyl)-ethoxymethyl;

R₇ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, $-COOH$, NO_2 , $-N(R_8)(R_9)$, OH, and halogeno;

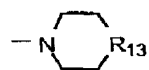
33

R₈ and R₉ are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;

R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;

R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,



, -N(R₈)(R₉), lower alkyl, phenyl or R₇-phenyl;

R₁₃ is selected from -O-, -CH₂-, -NH-, -N(lower alkyl)- or -NC(O)R₁₉;

R₁₅, R₁₆ and R₁₇ are independently selected from the group consisting of H and the groups defined for W; or R₁₅ is hydrogen and R₁₆ and R₁₇, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R₁₉ is H, lower alkyl, phenyl or phenyl lower alkyl; and

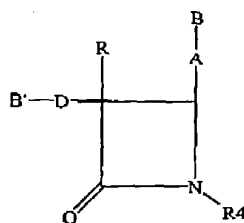
R₂₀ and R₂₁ are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

56. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 55.

57. (Withdrawn) A composition comprising:

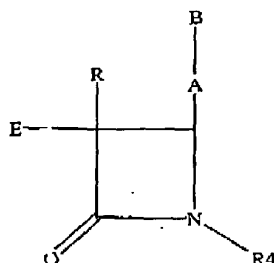
34

- (a) at least one bile acid sequestrant; and
- (b) at least one sterol absorption inhibitor represented by Formula (VIIA) or (VIIB):



(VIIA)

or

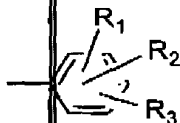


(VIIB)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formulae (VIIA) or (VIIB) or of the isomers thereof, or prodrugs of the compounds of Formulae (VIIA) or (VIIB) or of the isomers, salts or solvates thereof, wherein in Formulae (VIIA) and (VIIB):

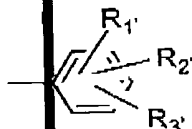
A is $-\text{CH}=\text{CH}-$, $-\text{C}\equiv\text{C}-$ or $-(\text{CH}_2)_p-$ wherein p is 0, 1 or 2;

B is



B' is

35



D is $-(CH_2)_mC(O)-$ or $-(CH_2)_q-$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C₁₀ to C₂₀ alkyl or $-C(O)-(C_9 \text{ to } C_{19})\text{-alkyl}$, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C₁-C₁₅ alkyl, straight or branched, saturated or containing one or more double bonds, or $B-(CH_2)_r-$, wherein r is 0, 1, 2, or 3;

R₁, R₂, R₃, R_{1'}, R_{2'}, and R_{3'} are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino, dilower alkylamino, $-NHC(O)OR_5$, R_6O_2SNH- and $-S(O)_2NH_2$;

R₄ is



wherein n is 0, 1, 2 or 3;

R₅ is lower alkyl; and

R₆ is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino and dilower alkylamino.

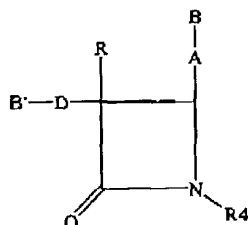
58. (Withdrawn) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 57 and a pharmaceutically acceptable carrier.

36

59. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 57.

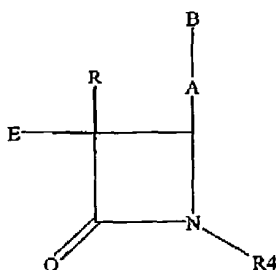
60. (Withdrawn) A therapeutic combination comprising:

- (a) a first amount of at least one bile acid sequestrant; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (VIIA) or (VIIB):



(VIIA)

or



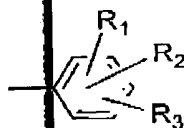
(VIIB)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formulae (VIIA) or (VIIB) or of the isomers thereof, or prodrugs of the compounds of Formulae (VIIA) or (VIIB) or of the isomers, salts or solvates thereof, wherein in Formulae (VIIA) and (VIIB):

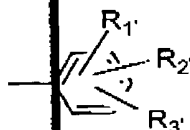
A is $-\text{CH}=\text{CH}-$, $-\text{C}\equiv\text{C}-$ or $-(\text{CH}_2)_p-$ wherein p is 0, 1 or 2;

37

B is



B' is

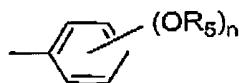


D is $-(CH_2)_mC(O)-$ or $-(CH_2)_q-$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C₁₀ to C₂₀ alkyl or $-C(O)-(C_9 \text{ to } C_{19})\text{-alkyl}$, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C₁-C₁₅ alkyl, straight or branched, saturated or containing one or more double bonds, or $B-(CH_2)_r-$, wherein r is 0, 1, 2, or 3;

R₁, R₂, R₃, R_{1'}, R_{2'}, and R_{3'} are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino, dilower alkylamino, $-NHC(O)OR_5$, R_6O_2SNH- and $-S(O)_2NH_2$;

R₄ is

wherein n is 0, 1, 2 or 3;

R₅ is lower alkyl; and

R₆ is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino and dilower alkylamino, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular

38

condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

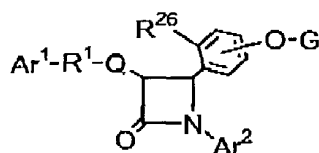
61. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 60.

62. (Withdrawn) A composition comprising:

(a) at least one bile acid sequestrant; and

(b) at least one sterol absorption inhibitor represented by Formula

(VIII):

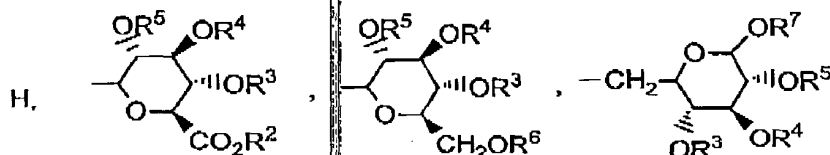


(VIII)

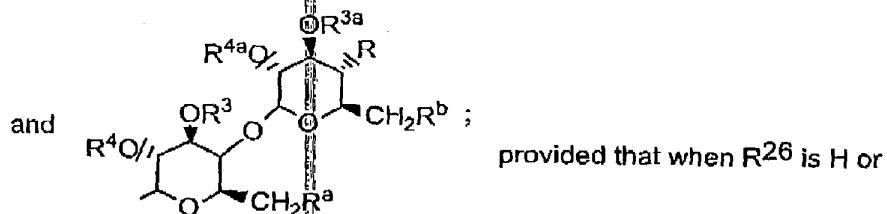
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VII) or of the isomers thereof, or prodrugs of the compounds of Formula (VII) or of the isomers, salts or solvates thereof, wherein, in Formula (VIII) above,

R²⁶ is H or OG¹;

G and G¹ are independently selected from the group consisting of



39



OH, G is not H;

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is selected from the group consisting of H and (C₁-C₄)alkyl;

T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl,

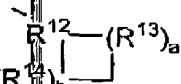
40

-C(O)-N((C1-C4)alkyl)₂, -C(O)-(C1-C4)alkyl, -C(O)-(C1-C4)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C1-C4)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

Ar² is aryl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

forms the spiro group ; and

R¹ is selected from the group consisting of

-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-E-(CH₂)_r-, wherein E is -O-, -C(O)-, phenylene, -NR²²- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆)alkenylene-; and

-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R¹² is

$\overset{|}{\text{CH-}}$, $\overset{|}{\text{C(C1-C6 alkyl)-}}$, -CF- , $\overset{|}{\text{C(OH)-}}$, $\overset{|}{\text{C(C6H4-R}^{23}\text{)-}}$, -N- , or $\text{-}^+\text{NO}^-$;

R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-, -CH(C1-C₆ alkyl)-, -C(di-(C1-C₆) alkyl)-, -CH=CH- and -C(C1-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C1-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

provided that when R¹³ is -CH=CH- or -C(C1-C₆ alkyl)=CH-, a is 1;

41

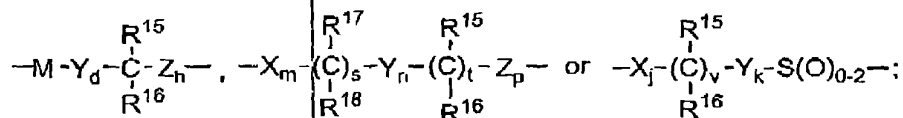
provided that when R^{14} is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, b is 1;

provided that when a is 2 or 3, the R^{13} 's can be the same or different;

and

provided that when b is 2 or 3, the R^{14} 's can be the same or different;

and when Q is a bond, R^1 also can be:



M is $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O})-$ or $-\text{S}(\text{O})_2-$;

X , Y and Z are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{C}_1\text{-C}_6 \text{ alkyl})-$ and $-\text{C}(\text{di}-(\text{C}_1\text{-C}_6 \text{ alkyl}))$;

R^{10} and R^{11} are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of $(\text{C}_1\text{-C}_6 \text{ alkyl})$, $-\text{OR}^{19}$, $-\text{O}(\text{CO})\text{R}^{19}$, $-\text{O}(\text{CO})\text{OR}^{21}$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^{19}$, $-\text{O}(\text{CO})\text{NR}^{19}\text{R}^{20}$, $-\text{NR}^{19}\text{R}^{20}$, $-\text{NR}^{19}(\text{CO})\text{R}^{20}$, $-\text{NR}^{19}(\text{CO})\text{OR}^{21}$, $-\text{NR}^{19}(\text{CO})\text{NR}^{20}\text{R}^{25}$, $-\text{NR}^{19}\text{SO}_2\text{R}^{21}$, $-\text{COOR}^{19}$, $-\text{CONR}^{19}\text{R}^{20}$, $-\text{COR}^{19}$, $-\text{SO}_2\text{NR}^{19}\text{R}^{20}$, $\text{S}(\text{O})_{0-2}\text{R}^{21}$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^{19}$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^{19}\text{R}^{20}$, $-(\text{C}_1\text{-C}_6 \text{ alkylene})\text{COOR}^{19}$, $-\text{CH}=\text{CH}\text{COOR}^{19}$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$ and halogen;

R^{15} and R^{17} are independently selected from the group consisting of $-\text{OR}^{19}$, $-\text{O}(\text{CO})\text{R}^{19}$, $-\text{O}(\text{CO})\text{OR}^{21}$ and $-\text{O}(\text{CO})\text{NR}^{19}\text{R}^{20}$;

R^{16} and R^{18} are independently selected from the group consisting of H , $(\text{C}_1\text{-C}_6 \text{ alkyl})$ and aryl ; or R^{15} and R^{16} together are $=\text{O}$, or R^{17} and R^{18} together are $=\text{O}$;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m , n and p are independently 0-4;

42

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and
provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

and when Q is a bond and R¹ is
$$\begin{array}{c} \text{R}^{15} \\ | \\ -\text{X}_j-(\text{C})_v-\text{Y}_k-\text{S}(\text{O})_{0-2}- \\ | \\ \text{R}^{16} \end{array}$$
, Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halogeno; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy.

63. (Withdrawn) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 62 and a pharmaceutically acceptable carrier.

64. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a

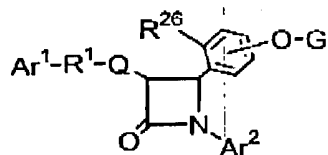
43

mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 62.

65. (Withdrawn) A therapeutic combination comprising:

(a) a first amount of at least one bile acid sequestrant; and

(b) a second amount of at least one sterol absorption inhibitor represented by Formula (VIII):

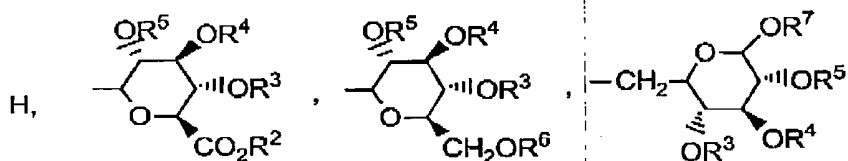


(VIII)

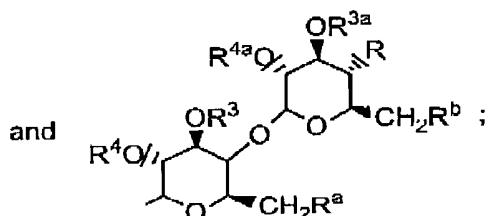
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VIII) or of the isomers thereof, or prodrugs of the compounds of Formula (VIII) or of the isomers, salts or solvates thereof, wherein, in Formula (VIII) above,

R²⁶ is H or OG¹;

G and G¹ are independently selected from the group consisting of



H,



and

provided that when R²⁶ is H or

OH, G is not H;

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

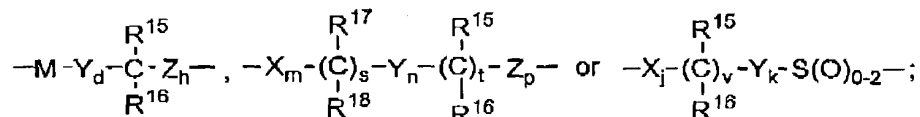
R³¹ is selected from the group consisting of H and (C₁-C₄)alkyl;

T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is Independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

46



M is -O-, -S-, -S(O)- or -S(O)₂-;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆)alkyl- and -C(di-(C₁-C₆)alkyl);

R¹⁰ and R¹¹ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, -O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halogen;

R¹⁵ and R¹⁷ are independently selected from the group consisting of -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹ and -O(CO)NR¹⁹R²⁰;

R¹⁶ and R¹⁸ are independently selected from the group consisting of H, (C₁-C₆)alkyl and aryl; or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

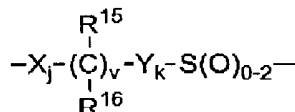
provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

47



and when Q is a bond and R¹ is , Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halogeno; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy,

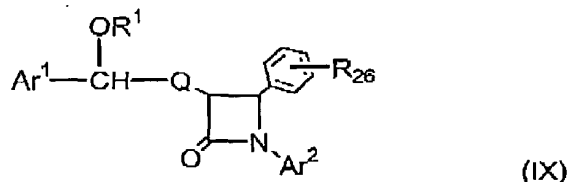
wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

66. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 65.

67. (Withdrawn) A composition comprising:

- (a) at least one bile acid sequestrant; and
- (b) at least one sterol absorption inhibitor represented by Formula (IX):

48

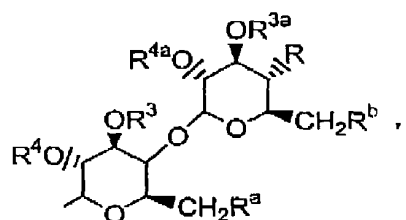
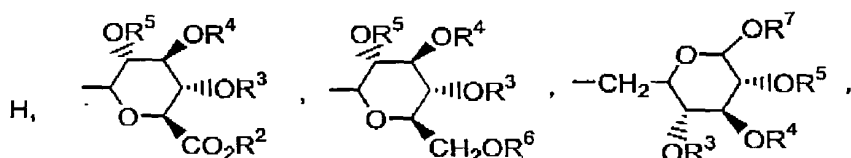


or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IX) or of the isomers thereof, or prodrugs of the compounds of Formula (IX) or of the isomers, salts or solvates thereof, wherein in Formula (IX):

R²⁶ is selected from the group consisting of:

- a) OH;
- b) OCH₃;
- c) fluorine and
- d) chlorine.

R¹ is selected from the group consisting of



-SO₃H; natural and unnatural amino acids.

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy and -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

49

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is independently selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is independently selected from the group consisting of H and (C₁-C₄)alkyl;

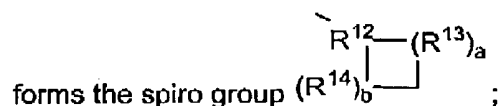
T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected from the group consisting of H, halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolyl or morpholinyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

Ar² is aryl or R¹¹-substituted aryl;

Q is -(CH₂)_q-, wherein q is 2-6, or, with the 3-position ring carbon of the azetidinone,



R¹² is

50

$-\overset{|}{\text{CH}}-$, $-\overset{|}{\text{C}}(\text{C}_1\text{-C}_6 \text{ alkyl})-$, $-\overset{|}{\text{CF}}-$, $-\overset{|}{\text{C}}(\text{OH})-$, $-\overset{|}{\text{C}}(\text{C}_6\text{H}_4\text{-R}^{23})-$, $-\overset{|}{\text{N}}-$, or $-\overset{|}{\text{N}}\text{O}^-$;

R^{13} and R^{14} are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{C}_1\text{-C}_6 \text{ alkyl})-$, $-\text{C}(\text{di}-(\text{C}_1\text{-C}_6) \text{ alkyl})-$, $-\text{CH}=\text{CH}-$ and $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$; or R^{12} together with an adjacent R^{13} , or R^{12} together with an adjacent R^{14} , form a $-\text{CH}=\text{CH}-$ or a $-\text{CH}=\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})-$ group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R^{13} is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, a is 1; provided that when R^{14} is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, b is 1; provided that when a is 2 or 3, the R^{13} 's can be the same or different; and provided that when b is 2 or 3, the R^{14} 's can be the same or different;

R^{10} and R^{11} are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of $(\text{C}_1\text{-C}_6)\text{alkyl}$, $-\text{OR}^{19}$, $-\text{O}(\text{CO})\text{R}^{19}$, $-\text{O}(\text{CO})\text{OR}^{21}$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^{19}$, $-\text{O}(\text{CO})\text{NR}^{19}\text{R}^{20}$, $-\text{NR}^{19}\text{R}^{20}$, $-\text{NR}^{19}(\text{CO})\text{R}^{20}$, $-\text{NR}^{19}(\text{CO})\text{OR}^{21}$, $-\text{NR}^{19}(\text{CO})\text{NR}^{20}\text{R}^{25}$, $-\text{NR}^{19}\text{SO}_2\text{R}^{21}$, $-\text{COOR}^{19}$, $-\text{CONR}^{19}\text{R}^{20}$, $-\text{COR}^{19}$, $-\text{SO}_2\text{NR}^{19}\text{R}^{20}$, $\text{S}(\text{O})_{0-2}\text{R}^{21}$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^{19}$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^{19}\text{R}^{20}$, $-(\text{C}_1\text{-C}_6 \text{ alkylene})\text{-COOR}^{19}$, $-\text{CH}=\text{CH}\text{-COOR}^{19}$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$ and halogen;

Ar^1 can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R^{19} and R^{20} are independently selected from the group consisting of H, $(\text{C}_1\text{-C}_6)\text{alkyl}$, aryl and aryl-substituted $(\text{C}_1\text{-C}_6)\text{alkyl}$;

R^{21} is $(\text{C}_1\text{-C}_6)\text{alkyl}$, aryl or R^{24} -substituted aryl;

R^{22} is H, $(\text{C}_1\text{-C}_6)\text{alkyl}$, aryl $(\text{C}_1\text{-C}_6)\text{alkyl}$, $-\text{C}(\text{O})\text{R}^{19}$ or $-\text{COOR}^{19}$;

51

R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halogeno; and
R²⁵ is H, -OH or (C₁-C₆)alkoxy.

68. (Withdrawn) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 67 and a pharmaceutically acceptable carrier.

69. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 67.

70. (Currently Amended) A composition comprising: (a) at least one bile acid sequestrant; and (b) about 10 milligrams of at least one substituted azetidinone compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted azetidinone compound or of the isomers, salts or solvates thereof.

71. (Original) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 70 and a pharmaceutically acceptable carrier.

52

72. (Original) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 70.

73. (Withdrawn) A therapeutic combination comprising:

- (a) a first amount of at least one bile acid sequestrant; and
- (b) a second amount of at least one substituted azetidinone compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted azetidinone compound or of the isomers, salts or solvates thereof,

wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

74. (Original) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 73 and a pharmaceutically acceptable carrier.

75. (Original) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 73.

53

76. (Currently Amended) A composition comprising: (a) at least one bile acid sequestrant; and (b) about 10 milligrams of at least one substituted β -lactam compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted β -lactam compound or of the isomers, salts or solvates thereof.

77. (Original) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 76 and a pharmaceutically acceptable carrier.

78. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 76.

79. (Currently Amended) A therapeutic combination comprising:

(a) a first amount of at least one bile acid sequestrant; and

(c) a second amount of about 10 milligrams of at least one substituted β -lactam compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted β -lactam compound or of the isomers, salts or solvates thereof,

wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

54

80. (Original) A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 79 and a pharmaceutically acceptable carrier.

81. (Withdrawn) A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 79.